IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent: 7,223,772
Issue Date: May 29, 2007
Serial No.: 09/830,836 Examiner: Chang, C.
Filing Date: May 1, 2001 Art Unit: 1625
For: PYRAZOLOPYRIDINE DERIVATIVES AS SELECTIVE COX-2 INHIBITORS

Attention Certificate of Correction Branch
Assistant Commissioner for Patents
P.O. Box 1450
Alexandria VA 22313-1450

REQUEST TO ISSUE A CORRECTED PATENT

This is a Request to Issue a Corrected Patent under 35 USC 254 and in accordance with 37 CFR 1.322(b).

Background

The instant U.S. Patent 7,223,772 ("Patent") is based upon U.S. Patent Application 09/830,836 ("Application"), filed May 1, 2001. The Patent claims priority to international application PCT/EP99/08186, filed November 1, 1999, which claims priority to foreign applications GB9824062 and GB9920909, filed November 3, 1998 and September 3, 1999, respectively.

The Application was allowed on the basis the amendment submitted November 28, 2006 ("2006 Amendment"). The Amendment (including claims) is available on the USPTO's PAIR system. A Notice of Allowance was mailed January 31, 2007. No Examiner's Amendments were presented in the Notice of Allowance. The issue fee was paid February 19, 2007, and the Patent issued May 29, 2007.

The published claims of the Patent differ significantly from the claims presented in the 2006 Amendment. In fact, the published claims seem to reflect the claims presented in an amendment submitted September 11, 2002 ("2002 Amendment"). Numerous amendments were made between submission of the 2002 Amendment and the 2006 Amendment.

Clean Copy of Claims Attached

For the convenience of the Office, a clean copy of the claims presented in the 2006 Amendment are attached to this Request.

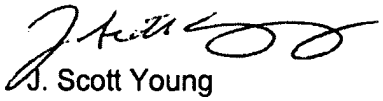
Request

Applicants submit that the number and complexity of the errors in the published claims make correction inappropriate for a Certificate of Correction, and therefore request issue of a corrected patent in accordance with 37 CFR 1.322(b). The corrected patent should reflect the claims as submitted in the 2006 Amendment.

Applicants assert that the printing error was incurred through the fault of the USPTO and, therefore, correction should be made without expense to Applicants.

The Examiner is invited to contact the undersigned at (919) 483-8160, to discuss this case, if desired.

Respectfully submitted,

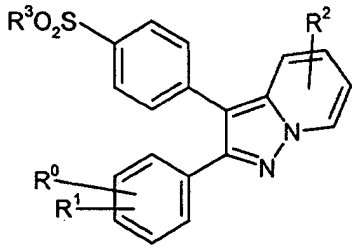


J. Scott Young
Attorney for Applicants
Reg. No. 45,582

Date: Dec 4, 2007
GlaxoSmithKline Inc.
Five Moore Drive, PO Box 13398
Research Triangle Park, NC 27709
(919) 483-8160
fax: (919) 483-7988
Scott.S.Young@GSK.com

Clean Copy of Claims submitted November 28, 2006:

1. A compound of formula (I)



(I)

or a pharmaceutically acceptable salt thereof wherein

R^0 and R^1 are independently selected from the group consisting of H, halogen, C_{1-6}alkyl, C_{1-6}alkoxy, and C_{1-6}alkoxy substituted by one or more fluorine atoms;

R^2 is selected from the group consisting of H, C_{1-6}alkyl, C_{1-6}alkyl substituted by one or more fluorine atoms, C_{1-6}alkoxy, C_{1-6}hydroxyalkyl, SC_{1-6}alkyl, C(O)H, $C(O)C_{1-6}$alkyl, C_{1-6}alkylsulphonyl, and C_{1-6}alkoxy substituted by one or more fluorine atoms; and

R^3 is C_{1-6}alkyl or NH_2.

2. A compound as claimed in claim 1 wherein R^0 and R^1 are independently selected from the group consisting of H, halogen, C_{1-6}alkyl, and C_{1-6}alkoxy; R^2 is C_{1-3}alkyl substituted by one or more fluorine atoms; and R^3 is C_{1-3}alkyl or
NH_2.

3. A compound as claimed in claim 1 wherein R^0 and R^1 are independently selected from the group consisting of H, F, Cl, C_{1-3}alkyl, and C_{1-3}alkoxy; R^2 is C_{1-3}alkyl substituted by one or more fluorine atoms; and R^3 is methyl or NH_2.

4. A compound as claimed in claim 1 wherein R^0 is selected from the group consisting of F, Cl, C_{1-3}alkyl and C_{1-3}alkoxy; R^1 is H; R^2 is C_{1-3}alkyl substituted by one or more fluorine atoms; and R^3 is methyl or NH_2.

5. A compound as claimed in claim 1 wherein R^0 is at the 3- or 4- position of the phenyl ring; and R^2 is at the 6- position of the pyridine ring.

6. A compound selected from the group consisting of:

4-[2-(3-fluoro-phenyl)-6-trifluoromethyl-pyrazolo[1,5-a]pyridin-3-yl]-benzenesulfonamide;

2-(3-fluoro-phenyl)-3-(4-methanesulfonyl-phenyl)-6-trifluoromethyl-pyrazolo[1,5-a]pyridine;

4-[2-(4-ethoxy-phenyl)-6-trifluoromethyl-pyrazolo[1,5-a]pyridin-3-yl]-benzenesulfonamide;

4-[2-(4-fluoro-phenyl)-6-trifluoromethyl-pyrazolo[1,5-a]pyridin-3-yl]-benzenesulfonamide;

2-(4-fluoro-phenyl)-3-(4-methanesulfonyl-phenyl)-6-trifluoromethyl-pyrazolo[1,5-a]pyridine;

4-(2-phenyl-6-trifluoromethyl-pyrazolo[1,5-a]pyridin-3-yl)-benzenesulfonamide;

3-(4-methanesulfonyl-phenyl)-2-phenyl-6-trifluoromethyl-pyrazolo[1,5-a]pyridine;

4-[2-(4-methyl-phenyl)-6-trifluoromethyl-pyrazolo[1,5-a]pyridin-3-yl]-benzenesulfonamide;

or a pharmaceutically acceptable salt thereof.

7. A compound selected from the group consisting of:

N-acetyl-4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;

N-acetyl-4-[2-(4-ethoxyphenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;

N-acetyl-4-[2-phenyl-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;

sodium salt of N-acetyl-4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;

4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]-N-(2-methoxyacetyl)benzenesulfonamide;

4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]-N-propionylbenzenesulfonamide;

4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]-N-isobutyrylbenzenesulfonamide;

N-benzoyl-4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;

methyl 4-[({4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]phenyl}sulfonyl)amino]-4-oxobutanoate;

4-[({4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]phenyl}sulfonyl)amino]-4-oxobutanoic acid;

4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]-N-pentanoylbenzenesulfonamide;

2-[({4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]phenyl}sulfonyl)amino]-2-oxoethyl acetate;

N-acetyl-4-[2-(4-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;

N-(2-chloroacetyl)-4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;

N-[2-(diethylamino)acetyl]-4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;

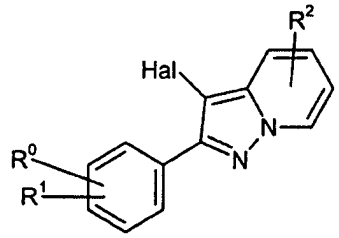
methyl {4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]phenyl}sulfonylcarbamate; and

tert-butyl {4-[2-(3-fluorophenyl)-6-(trifluoromethyl)pyrazolo[1,5-a]pyridin-3-yl]phenyl}sulfonylcarbamate.

8. A compound selected from the group consisting of:
4-[6-chloro-2-(3-ethoxyphenyl)pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;
6-chloro-2-(3-ethoxyphenyl)-3-[4-(methylsulfonyl)phenyl]pyrazolo[1,5-a]pyridine;
4-[6-methyl-2-phenyl-pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;
4-[2-(3-fluorophenyl)-6-methyl-pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;
4-[2-(3-ethoxyphenyl)-6-methyl-pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;
4-[2-(4-ethoxyphenyl)-6-methyl-pyrazolo[1,5-a]pyridin-3-yl]benzenesulfonamide;
6-methyl-2-phenyl -3-[4-(methylsulfonyl)phenyl]pyrazolo[1,5-a]pyridine;
2-(3-fluorophenyl)-6-methyl-3-[4-(methylsulfonyl)phenyl]pyrazolo[1,5-a]pyridine;
2-(3-ethoxyphenyl)-6-methyl-3-[4-(methylsulfonyl)phenyl]pyrazolo[1,5-a]pyridine;
2-(4-ethoxyphenyl)-6-methyl-3-[4-(methylsulfonyl)phenyl]pyrazolo[1,5-a]pyridine;
or a pharmaceutically acceptable salt thereof.

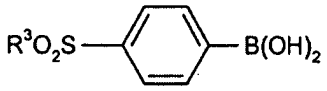
9. A process for the preparation of a compound as claimed in claim 1, said process comprising the steps of:

(A) reacting a compound of formula (II)



(II)

or a protected derivative thereof, with a compound of formula (III)



(III)

or a protected derivative thereof to prepare a compound of formula (I); and

(B) optionally converting the compound of formula (I) to a pharmaceutically acceptable salt thereof.

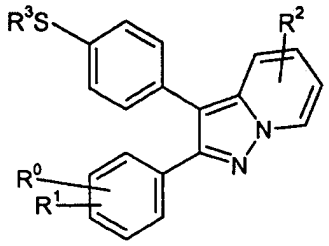
10. A pharmaceutical composition comprising a compound as claimed in claim 1 in admixture with one or more physiologically acceptable carriers or excipients.

11.-16. Canceled.

17. The compound according to claim 1, wherein R^0 is selected from the group consisting of F, Cl, methyl and ethoxy; R^1 is H; R^2 is trifluoromethyl; and R^3 is methyl or NH_2.

18. A process for the preparation of a compound as claimed in claim 1, said process comprising the steps of:

(A) where R^3 represents C_{1-4}alkyl, reacting a compound of formula (IV)



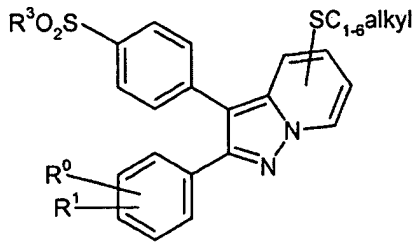
(IV)

or a protected derivative thereof with an oxidising agent to prepare a compound of formula (I); and

(B) optionally converting the compound of formula (I) to a pharmaceutically acceptable salt thereof.

19. A process for the preparation of a compound as claimed in claim 1, said process comprising the steps of:

(A) where R^2 is C_{1-6}alkylsulphonyl, oxidising a compound of formula (V)



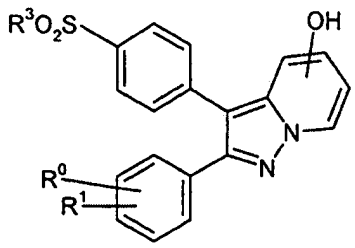
(V)

or a protected derivative thereof to prepare a compound of formula (I); and

(B) optionally converting the compound of formula (I) to a pharmaceutically acceptable salt thereof.

20. A process for the preparation of a compound as claimed in claim 1, said process comprising the steps of:

(A) where R^2 is C_{1-6}alkoxy substituted by one or more fluorine atoms, reacting a alcohol of formula (VI)



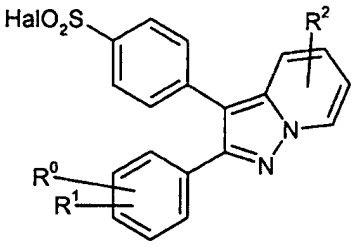
(VI)

or a protected derivative thereof with a halofluoroalkane to prepare a compound of formula (I); and

(B) optionally converting the compound of formula (I) to a pharmaceutically acceptable salt thereof.

21. A process for the preparation of a compound as claimed in claim 1, said process comprising the steps of:

(A) where R^3 is NH_2, reacting a compound of formula (X)



(X)

with a source of ammonia under conventional conditions to prepare a compound of formula (I); and

(B) optionally converting the compound of formula (I) to a pharmaceutically acceptable salt thereof.

22. A process for the preparation of a compound as claimed in claim 1, said process comprising the steps of:

(A) interconverting a compound of formula (I) into another compound of formula (I); and

(B) optionally converting the compound of formula (I) to a pharmaceutically acceptable salt thereof.

23. A process for the preparation of a compound as claimed in claim 1, said process comprising the steps of:

(A) deprotecting a protected derivative of compound of formula (I); and

(B) optionally converting the compound of formula (I) to a pharmaceutically acceptable salt thereof.

24. Canceled.

25. Canceled.

26. A method for the treatment of a human subject suffering from a condition or disease selected from the group consisting of pain, fever and inflammation, said method comprising administering an effective amount of a compound as claimed in claim 1.

27. Canceled.

28. A method for the treatment of a human subject suffering from pain, said method comprising administering an effective amount of a compound of formula (I) as claimed in claim 1.

29. The method of claim 26 wherein the human subject is suffering from the pain or inflammation of arthritis.

30. – 34. Canceled.

35. 4-[2-(3-fluoro-phenyl)-6-trifluoromethyl-pyrazolo[1,5-a]pyridin-3-yl]-benzenesulfonamide.

36. The method of claim 26 wherein the human subject is suffering from the pain or inflammation of lower back pain.

37. The method of claim 26 wherein the human subject is suffering from the pain or inflammation of neck pain.

38. The method of claim 26 wherein the human subject is suffering from the pain or inflammation of rheumatoid arthritis.

39. The method of claim 26 wherein the human subject is suffering from the pain or inflammation of osteoarthritis.

40. The method of claim 26 wherein the human subject is suffering from the pain, fever, or inflammation of dysmenorrhoea.